L1 ANSWER 13 OF 13 REGISTRY COPYRIGHT 2001 ACS
RN 69655-05-6 REGISTRY
CN Inosine, 2',3'-dideoxy- (9CI) (CA INDEX NAME)
OTHER NAMES:
CN 2',3'-Dideoxyinosine
CN BMY 40900
CN DdI
CN DdI (nucleoside)
CN Didanosine
CN Dideoxyinosine
CN NSC 612049
CN Videx
FS STEREOSEARCH
MF C10 H12 N4 O3
CI COM
LC STN Files: ADISINSIGHT, ADISNEWS, AGRICOLA, ANABSTR, BEILSTEIN*, BIOBUSINESS, BIOSIS, BIOTECHNO, CA, CABA, CANCERLIT, CAPLUS, CASREACT, CBNB, CEN, CHEMCATS, CHEMINFORMRX, CHEMLIST, CIN, CSCHEM, CSNB, DDFU, DIOGENES, DRUGNL, DRUGPAT, DRUGU, DRUGUPDATES, EMBASE, HSDB*, IPA, MEDLINE, MRCK*, MSDS-OHS, PHAR, PROMT, RTECS*, SYNTHLINE, TOXLINE, TOXLIT, ULIDAT, USAN, USPATFULL, VETU
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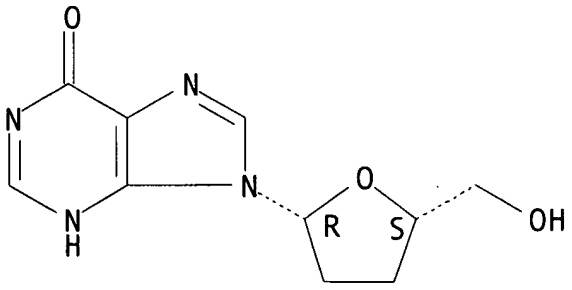
Absolute stereochemistry. Rotation (-).



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L1: Entry 6 of 12 File: USPT Apr 27, 1999

US-PAT-NO: 5897877
DOCUMENT-IDENTIFIER: US 5897877 A

TITLE: Oral pharmaceutical preparation containing erythromycin base

DATE-ISSUED: April 27, 1999

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
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Juch; Rolf Dieter	Wangen b. Olten	N/A	N/A	CHX

US-CL-CURRENT: 424/465; 424/471, 514/29

CLAIMS:

We claim:
1. A pharmaceutical preparation, in pellet form, having a core comprising erythromycin and at least one acidic salt, wherein said erythromycin constitutes greater than 80% by weight of said core, and wherein said core is coated with an enteric coating.
2. The pharmaceutical preparation according to claim 1 further comprising at least one additive.
3. The pharmaceutical preparation according to claim 1, wherein the erythromycin is present in an amount of at least 90% by weight, based on the core weight.
4. The pharmaceutical preparation according to claim 1, wherein the acidic salt constitutes approximately 1-15% by weight of said core.
5. The pharmaceutical preparation according to claim 4, wherein the acidic salt constitutes 3-8% by weight of said core.
6. The pharmaceutical preparation according to claim 5, wherein the acidic salt constitutes 4% by weight of said core.
7. The pharmaceutical preparation according to claim 1, wherein the acidic salt is selected from the group consisting of potassium dihydrogen citrate, potassium hydrogen tartrate, potassium hydrogen phthalate, sodium dihydrogen phosphate, and disodium hydrogen citrate, potassium dihydrogen phosphate, and a combination thereof.
8. The pharmaceutical preparation according to claim 7, wherein the acidic salt comprises potassium dihydrogen phosphate.
9. The pharmaceutical preparation according to claim 2, wherein the additive is microcrystalline cellulose.
10. The pharmaceutical preparation according to claim 2, wherein the additive constitutes 3-9% by weight of said core.
11. The pharmaceutical preparation according to claim 2, wherein the additive constitutes 6% by weight of said core.
12. The pharmaceutical preparation according to claim 1, wherein the enteric coating comprises a polymer resistant to gastric juice, at least one separating agent, and at least one softening agent.
13. The pharmaceutical preparation according to claim 12, wherein the enteric coating constitutes 5-40% by weight of said core, wherein the polymer resistant to gastric juice constitutes 1-15% by weight of said core, and wherein the separating agent constitutes 1-20% by weight of said core.
14. The pharmaceutical preparation according to claim 13, wherein the enteric coating constitutes 15-30% by weight of said core, wherein the polymer resistant

to gastric juice constitutes 2-10 % by weight of said core, and wherein the separating agent constitutes 2-10% by weight of said core.

15. The pharmaceutical preparation according to claim 14, wherein the enteric coating constitutes 24% by weight of said core, wherein the polymer resistant to gastric juice constitutes 3.75 % by weight of said core, and wherein the separating agent constitutes 2.4% by weight of said core.

16. The pharmaceutical preparation according to claim 13, wherein the polymer resistant to gastric juice comprises a polymer selected from the group consisting of polyvinyl acetate phthalate, cellulose acetate phthalate, hydroxypropylmethylcellulose acetate succinate, carboxymethylethylcellulose, poly(methacrylic acid, -ethylacrylate), and a combination thereof.

17. The pharmaceutical preparation according to claim 16, wherein the polymer resistant to gastric juice comprises poly(methacrylic acid, -ethylacrylate).

18. The pharmaceutical preparation according to claim 12, wherein the separating agent comprises an agent selected from the group consisting of magnesium stearate, hydrogenated castor oil, dipropyl glycol dipelargonate, glycerin monobehenate talc, and a combination thereof.

19. The pharmaceutical preparation according to claim 18, wherein the separating agent comprises talc.

20. The pharmaceutical preparation according to claim 12, wherein the softening agent comprises an agent selected from the group consisting of polyethylene glycol, distilled acetylated monoglycerides, triethyl citrate, glycerin triacetate, acetyltriethyl citrate, and diethyl phthalate, and a combination thereof.

21. The pharmaceutical preparation according to claim 20, wherein the softening agent comprises diethyl phthalate.

L1: Entry 11 of 12 File: USPT Jan 3, 1995

US-PAT-NO: 5378462
DOCUMENT-IDENTIFIER: US 5378462 A

TITLE: Pancreatin micropellets prepared with polyethylene glycol 4000, paraffin and a lower alcohol by extrusion and rounding

DATE-ISSUED: January 3, 1995

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Boedecker; Bernd	Hannover	N/A	N/A	DEX
Henninges; Friederike	Brunswick	N/A	N/A	DEX
Koelln; Klaus-Juergen	Neustadt a. Rbge	N/A	N/A	DEX
Kuhnow; Guenther	Neustadt a. Rbge	N/A	N/A	DEX
Peschke; Guenter-Josef	Hanover	N/A	N/A	DEX
Rehburg; Manfred	Wagenfeld	N/A	N/A	DEX
Sobe; Alwin	Sarstedt	N/A	N/A	DEX
Stemmle; Berthold	Burgdorf	N/A	N/A	DEX

US-CL-CURRENT: 424/94.21; 424/408, 435/175, 435/177, 435/180, 435/182

CLAIMS:

What is claimed is:
1. A pancreatin micropellet core which can be coated with a gastric juice-resistant film, said micropellet core having a pancreatin content of 65-85 wt-% and a bulk density of 0.6 g/ml to 0.85 g/ml; obtained by extruding a mixture consisting essentially of 100 parts by weight pancreatin, from 15 to 50 parts by weight polyethylene glycol 4000 and sufficient lower alcohol to achieve an extrudable consistency through a die having a hole diameter of 0.8 to 1.2 mm to yield extrudates which break by themselves into extrudate fragments of a length suitable for transfer to a rounding apparatus; thereafter rounding the extrudate fragments with the addition of from 1.5 to 5 parts by weight of highly liquid paraffin, the resulting rounded fragments having a spherical to ellipsoidal shape with a minimum diameter in the range from 0.7-1.4 mm, and a particle size distribution in which at least 80% of the pancreatin micropellet cores have a minor axis to major axis ratio in the range from 1:1 to 1:2, and drying the rounded fragments to remove the alcohol.
2. The pancreatin micropellet core according to claim 1, having a pancreatin content of from 75 to 80 wt-%.
3. The pancreatin micropellet core according to claim 1, containing from 20 to 30 parts by weight polyethylene glycol 4000 and from 2 to 3 parts by weight highly liquid paraffin per 100 parts of pancreatin.
4. The pancreatin micropellet core according to claim 1, having a minimum diameter in the range from 0.8 to 1.2 mm.
5. The pancreatin micropellet core according to claim 1 coated with a gastric juice-resistant film.
6. A process for producing pancreatin micropellet cores which can be coated with a gastric juice-resistant film and having a pancreatin content of 65-85 wt-%, said process comprising the steps of:
a) mixing 100 parts by weight of pancreatin with from 15 to 50 parts by weight

of polyethylene glycol 4000 and a sufficient amount of a lower alcohol to achieve an extrudable consistency, to form an extrudable mixture,
b) pressing said extrudable mixture in an extruding press containing a piercing die having a hole diameter of 0.8-1.2 mm to form extrudates which break by themselves into extrudate fragments of a length suitable for transfer to a rounding apparatus, and
c) transferring the extrudate fragments to a rounding apparatus and breaking up the transferred fragments in said rounding apparatus with the addition of from 1.5 to 5 parts by weight of liquid paraffin and from 1.5 to 10 parts by weight of propan-2-ol, per 100 parts by weight of pancreatin, under conditions which round fracture edges, to form micropellet cores having a spherical to ellipsoidal shape and a particle size distribution in which at least 80% of the particles have a minor axis to major axis ratio in the range from 1:1 to 1:2, and
d) drying the micropellet cores obtained in step c) at a temperature in the range from 30.degree. to 50.degree. C.
7. The process according to claim 6, wherein said micropellet cores have a pancreatin content of from 75 to 80 wt-%.
8. The process according to claim 6, wherein 100 parts by weight pancreatin are mixed with from 20 to 30 parts by weight polyethylene glycol 4000.
9. The process according to claim 6, wherein in step c) 2-3 parts by weight of liquid paraffin and 2-6 parts by weight of propan-2-ol are added per 100 parts by weight pancreatin.
10. The process according to claim 6, wherein the extrudate fragments collected from the extruding press have a length of at most 5 cm.
11. The process according to claim 10, wherein the extrudate fragments collected from the extruding press have a length in the range from 0.5 to 3 cm.
12. The process according to claim 6, wherein in step b), the extrudate fragments are divided by a cutting apparatus located after the piercing die before the fragments are transferred to the rounding apparatus.
13. The process according to claim 6, wherein said lower alcohol is propan-2-ol.

14. The process according to claim 13, wherein in step a) from 10 to 30 parts by weight propan-2-ol are admixed per 100 parts by weight pancreatin.
15. The process according to claim 14, wherein in step a) from 15 to 25 parts by weight propan-2-ol are admixed per 100 parts by weight pancreatin.
16. The process according to claim 6, further comprising coating the pancreatin micropellet cores obtained in step c) with a gastric juice-resistant film prior to said drying step.